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TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS	4	MAY 10	CA/CAPLUS enhanced with 1900-1906 U.S. patent records
NEWS	5	MAY 11	KOREAPAT updates resume
NEWS	6	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS	7	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAPLUS and USPATFULL/USPAT2
NEWS	8	MAY 30	The F-Term thesaurus is now available in CA/CAPLUS
NEWS	9	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS	10	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS	11	JUN 28	Price changes in full-text patent databases EPPFULL and PCTFULL
NEWS	12	JUL 11	CHEMSAFE reloaded and enhanced
NEWS	13	JUL 14	FSTA enhanced with Japanese patents
NEWS	14	JUL 19	Coverage of Research Disclosure reinstated in DWPI
NEWS	15	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS	16	AUG 28	ADISCTI Reloaded and Enhanced
NEWS	17	AUG 30	CA(SM)/CAPLUS(SM) Austrian patent law changes
NEWS	18	SEP 11	CA/CAPLUS enhanced with more pre-1907 records
NEWS	19	SEP 21	CA/CAPLUS fields enhanced with simultaneous left and right truncation
NEWS	20	SEP 25	CA(SM)/CAPLUS(SM) display of CA Lexicon enhanced
NEWS	21	SEP 25	CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS	22	SEP 25	CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS EXPRESS	JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.		
NEWS HOURS	STN Operating Hours Plus Help Desk Availability		
NEWS LOGIN	Welcome Banner and News Items		
NEWS IPC8	For general information regarding STN implementation of IPC 8		
NEWS X25	X.25 communication option no longer available		

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 13:15:01 ON 27 SEP 2006

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 13:15:14 ON 27 SEP 2006

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DICTIONARY FILE UPDATES: 26 SEP 2006 HIGHEST RN 908803-03-2

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

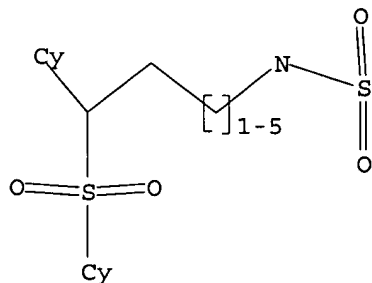
Uploading C:\Program Files\Stnexp\Queries\10528214.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 13:16:42 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2471 TO ITERATE

100.0% PROCESSED 2471 ITERATIONS

23 ANSWERS

SEARCH TIME: 00.00.01

L2 23 SEA SSS FUL L1

=> file caplus  
COST IN U.S. DOLLARS  
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
167.82	168.03

FILE 'CAPLUS' ENTERED AT 13:16:57 ON 27 SEP 2006  
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FILE COVERS 1907 - 27 Sep 2006 VOL 145 ISS 14  
FILE LAST UPDATED: 26 Sep 2006 (20060926/ED)

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=> s l2 ibib ab hitstr 1-23  
MISSING OPERATOR L2 IBIB  
The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> d l2 ibib ab hitstr 1-23  
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:n

=> file caplus  
COST IN U.S. DOLLARS  
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
1.84	169.87

FILE 'CAPLUS' ENTERED AT 13:19:34 ON 27 SEP 2006  
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=> s ;2  
ENTER LOGIC EXPRESSION, QUERY NAME, OR (END):end  
SEARCH ENDED BY USER

2 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.  
For a list of commands available to you in the current file, enter  
"HELP COMMANDS" at an arrow prompt (=>).

=> s l2  
L3                    2 L2

=> d l2 ibib ab hitstr 1-23  
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:n

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.84	171.71

FILE 'REGISTRY' ENTERED AT 13:22:09 ON 27 SEP 2006  
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DICTIONARY FILE UPDATES: 26 SEP 2006 HIGHEST RN 908803-03-2

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

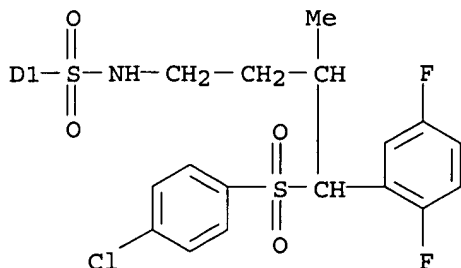
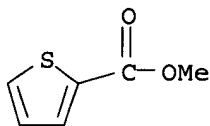
Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

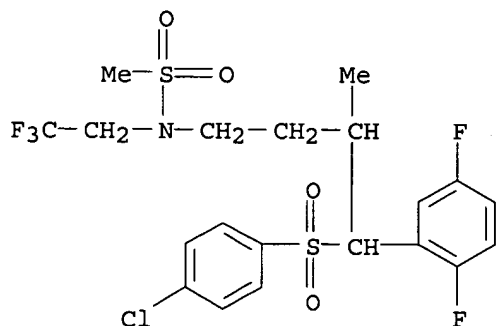
=> d l2 1-5

L2 ANSWER 1 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 679838-77-8 REGISTRY  
ED Entered STN: 05 May 2004  
CN 2-Thiophenecarboxylic acid, [[[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-  
difluorophenyl)-3-methylbutyl]amino]sulfonyl]-, methyl ester (9CI) (CA  
INDEX NAME)  
MF C23 H22 Cl F2 N O6 S3  
CI IDS  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL



1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 2 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 678982-60-0 REGISTRY  
ED Entered STN: 03 May 2004  
CN Methanesulfonamide, N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN N-[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]-N-(2,2,2-trifluoroethyl)methanesulfonamide  
MF C20 H21 Cl F5 N O4 S2  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

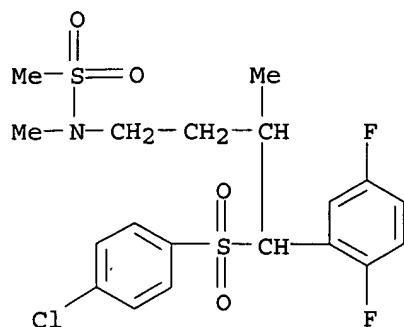


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 3 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 678982-57-5 REGISTRY  
ED Entered STN: 03 May 2004  
CN Methanesulfonamide, N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]-N-methyl- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN N-[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]-N-methylmethanesulfonamide  
MF C19 H22 Cl F2 N O4 S2

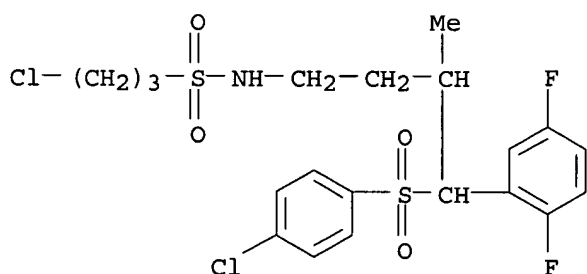
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 4 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 678982-56-4 REGISTRY  
ED Entered STN: 03 May 2004  
CN 1-Propanesulfonamide, 3-chloro-N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN N-[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]-3-chloropropane-1-sulfonamide  
MF C20 H23 Cl2 F2 N O4 S2  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

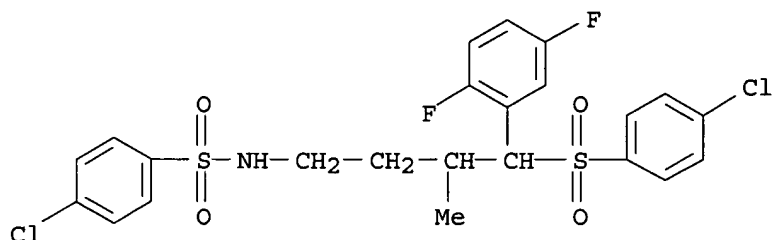


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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 5 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 678982-54-2 REGISTRY  
ED Entered STN: 03 May 2004  
CN Benzenesulfonamide, 4-chloro-N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN N-[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]-4-chlorobenzenesulfonamide  
MF C23 H21 Cl2 F2 N O4 S2

SR CA  
LC STN Files: CA, CAPLUS, USPATFULL



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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus  
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
9.94	181.65

FULL ESTIMATED COST

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=> s l2  
L4 2 L2

=> d l-2 ibib ab hitstr  
'L-2' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS ----- GI and AB  
ALL ----- BIB, AB, IND, RE  
APPS ----- AI, PRAI  
BIB ----- AN, plus Bibliographic Data and PI table (default)  
CAN ----- List of CA abstract numbers without answer numbers

CBIB ----- AN, plus Compressed Bibliographic Data  
 CLASS ----- IPC, NCL, ECLA, FTERM  
 DALL ----- ALL, delimited (end of each field identified)  
 DMAX ----- MAX, delimited for post-processing  
 FAM ----- AN, PI and PRAI in table, plus Patent Family data  
 FBIB ----- AN, BIB, plus Patent FAM  
 IND ----- Indexing data  
 IPC ----- International Patent Classifications  
 MAX ----- ALL, plus Patent FAM, RE  
 PATS ----- PI, SO  
 SAM ----- CC, SX, TI, ST, IT  
 SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;  
 SCAN must be entered on the same line as the DISPLAY,  
 e.g., D SCAN or DISPLAY SCAN)  
 STD ----- BIB, CLASS  
  
 IABS ----- ABS, indented with text labels  
 IALL ----- ALL, indented with text labels  
 IBIB ----- BIB, indented with text labels  
 IMAX ----- MAX, indented with text labels  
 ISTD ----- STD, indented with text labels  
  
 OBIB ----- AN, plus Bibliographic Data (original)  
 OIBIB ----- OBIB, indented with text labels  
  
 SBIB ----- BIB, no citations  
 SIBIB ----- IBIB, no citations  
  
 HIT ----- Fields containing hit terms  
 HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)  
 containing hit terms  
 HITRN ----- HIT RN and its text modification  
 HITSTR ----- HIT RN, its text modification, its CA index name, and  
 its structure diagram  
 HITSEQ ----- HIT RN, its text modification, its CA index name, its  
 structure diagram, plus NTE and SEQ fields  
 FHITSTR ----- First HIT RN, its text modification, its CA index name, and  
 its structure diagram  
 FHITSEQ ----- First HIT RN, its text modification, its CA index name, its  
 structure diagram, plus NTE and SEQ fields  
 KWIC ----- Hit term plus 20 words on either side  
 OCC ----- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.  
 ENTER DISPLAY FORMAT (BIB):end

=> d l4 ibib abs hitstr 1-2

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2004:308410 CAPLUS  
 DOCUMENT NUMBER: 140:339067  
 TITLE: Preparation of arylsulfonyl-containing sulfonamides as  
 $\gamma$ -secretase inhibitors for use against  
 Alzheimer's disease  
 INVENTOR(S): Crawforth, James Michael; Elliott, Jason Matthew;



PATENT ASSIGNEE(S): Owens, Andrew Pate; Sternfeld, Francine  
 SOURCE: Merck Sharp & Dohme Limited, UK  
 PCT Int. Appl., 33 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031138	A1	20040415	WO 2003-GB4173	20030925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2500966	AA	20040415	CA 2003-2500966	20030925
AU 2003271862	A1	20040423	AU 2003-271862	20030925
EP 1551798	A1	20050713	EP 2003-753700	20030925
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006501293	T2	20060112	JP 2004-540929	20030925
US 2005261276	A1	20051124	US 2005-528214	20050317
PRIORITY APPLN. INFO.:			GB 2002-23040	A 20021004
			WO 2003-GB4173	W 20030925

OTHER SOURCE(S): MARPAT 140:339067

AB The invention provides arylsulfonyl-containing sulfonamides (Ar1SO2CH(Ar2)CH(R1)(CH2)nN(R2)SO2R3 (I); variables defined below; e.g. N-[4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]methanesulfonamide (II)) which are inhibitors of  $\gamma$ -secretase and hence useful in the treatment or prevention of Alzheimer's disease. Methods of preparation are claimed and example preps. and/or characterization data are included for 18 examples of I. For example, II was prepared in 3 steps (57, 84, 42 %, resp.) starting with addition of 2-[(4-chlorobenzenesulfonyl)methyl]-1,4-difluorobenzene to crotononitrile using NaH to give 4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyronitrile, which was reduced using borane/THF to give [4-(4-chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]amine, which was combined with methanesulfonyl chloride; the mixture of product diastereomers was separated into less and more polar diastereomers, the latter of which was separated into enantiomers by chiral preparative HPLC. The examples all had an ED50 against  $\gamma$ -secretase <1  $\mu$ M, typically <0.5  $\mu$ M, in most cases <100 nM, and in preferred cases <10 nM. For I: n is 2, 3 or 4; Ar1 = Ph or heteroaryl, either of which bears 0-3 halogen, CN, NO2, CF3, CHF2, OH, OCF3, C1-4alkoxy or C1-4alkyl which optionally bears halogen, CN, NO2, CF3, OH and C1-4alkoxy; Ar2 = Ph or heteroaryl, either of which bears 0-3 halogen, CN, NO2, CF3, CHF2, OH, OCF3, C1-4-alkoxy or C1-4alkyl which optionally bears halogen, CN, NO2, CF3, OH and C1-4alkoxy. R1 = C1-4alkyl, or together with R2 completes a pyrrolidine, piperidine or homopiperidine ring; R2 = H or C1-6alkyl which optionally bears halogen, CN, NO2, CF3, OH and C1-4alkoxy; or together with R1 completes a pyrrolidine, piperidine or homopiperidine ring; or together with R3 completes a tetrahydroisothiazole 1,1-dioxide ring; and R3 = Ph, naphthyl or heteroaryl, any of which may bear up to 3 halogen, CN, NO2, CF3, CHF2, OH, OCF3, C1-4alkoxy, C1-4-alkoxycarbonyl, C2-6acyl, C2-6acyloxy, C2-6acylamino, amino, C1-4alkylamino, di(C1-4alkyl)amino or C1-4alkyl which optionally bears halogen, CN, NO2, CF3, OH and C1-4-alkoxy. Or R3 = CF3 or a nonarom. hydrocarbon group of

up to 6 C atoms optionally bearing one halogen, CN, CF<sub>3</sub>, OH, OCF<sub>3</sub>, C1-4alkoxy, C1-4alkoxycarbonyl, C2-6acyl, C2-6acyloxy, C2-6acylamino, amino, C1-4alkylamino, di(C1-4alkyl)amino or Ph, naphthyl or heteroaryl, any of which may bear up to 3 halogen, CN, NO<sub>2</sub>, CF<sub>3</sub>, CHF<sub>2</sub>, OH, OCF<sub>3</sub>, C1-4alkoxy, C1-4alkoxycarbonyl, C2-6acyl, C2-6acyloxy, C2-6acylamino, amino, C1-4alkylamino, di(C1-4alkyl)amino or C1-4alkyl which optionally bears halogen, CN, NO<sub>2</sub>, CF<sub>3</sub>, OH and C1-4alkoxy; or R<sub>3</sub> together with R<sub>2</sub> completes a tetrahydroisothiazole 1,1-dioxide ring.

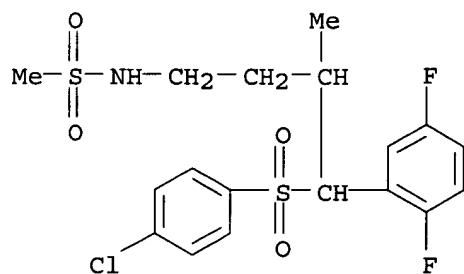
IT 558463-87-9P, N-[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]methanesulfonamide

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(drug candidate, separation into diastereomers and resolution of more polar diastereomer into enantiomers; preparation of arylsulfonyl-containing sulfonamides as  $\gamma$ -secretase inhibitors for use against Alzheimer's disease)

RN 558463-87-9 CAPLUS

CN Methanesulfonamide, N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)



IT 678982-42-8P 678982-43-9P 678982-44-0P,  
N-[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]thiophene-2-sulfonamide 678982-45-1P,  
N-[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]isopropanesulfonamide 678982-46-2P,  
N-[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]phenylmethanesulfonamide 678982-47-3P,  
N-[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]quinoline-8-sulfonamide 678982-48-4P,  
N-[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]benzenesulfonamide 678982-49-5P,  
N-[2-[[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]sulfamoyl]-4-methylthiazol-5-yl]acetamide 678982-50-8P,  
N-[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]-5-chlorothiophene-2-sulfonamide 678982-51-9P, N-[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]-3,5-dimethylisoxazole-4-sulfonamide 678982-52-0P,  
N-[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]-2-phenylethanesulfonamide 678982-53-1P, N-[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]-5-chloro-1,3-dimethyl-1H-pyrazole-4-sulfonamide 678982-54-2P,  
N-[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]-4-chlorobenzenesulfonamide 678982-57-5P, N-[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]-N-methylmethanesulfonamide 678982-60-0P, N-[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]-N-(2,2,2-trifluoroethyl)methanesulfonamide 679838-77-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

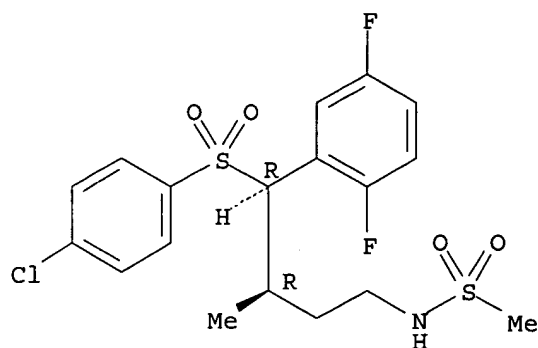
(Uses)

(drug candidate; preparation of arylsulfonyl-containing sulfonamides as  $\gamma$ -secretase inhibitors for use against Alzheimer's disease)

RN 678982-42-8 CAPLUS

CN Methanesulfonamide, N-[(3R,4R)-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]-, rel- (9CI) (CA INDEX NAME)

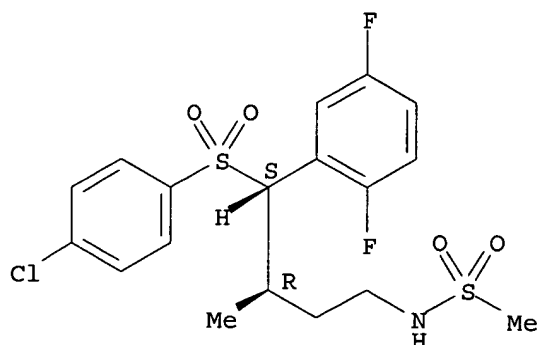
Relative stereochemistry.



RN 678982-43-9 CAPLUS

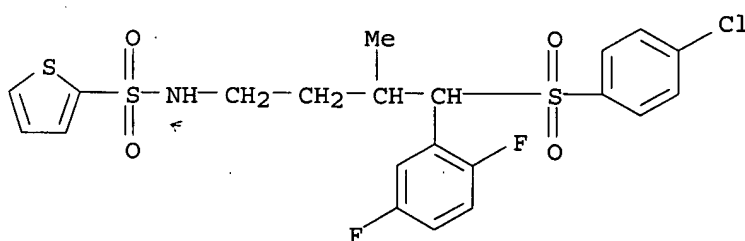
CN Methanesulfonamide, N-[(3R,4S)-4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 678982-44-0 CAPLUS

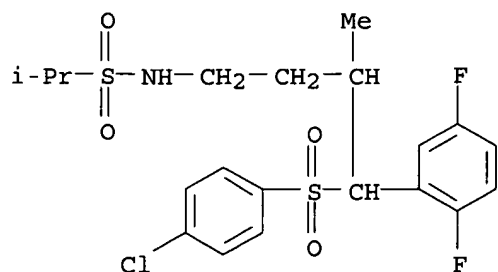
CN 2-Thiophenesulfonamide, N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)



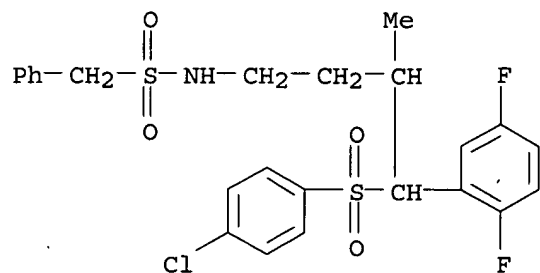
549/65 574/445  
5-mem R...

RN 678982-45-1 CAPLUS

CN 2-Propanesulfonamide, N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)

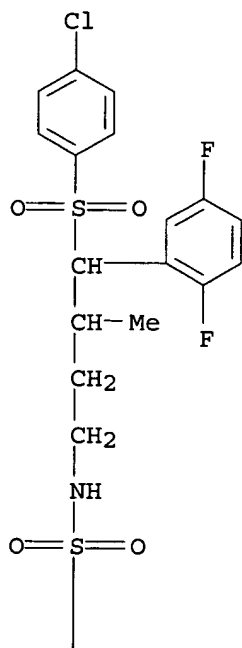


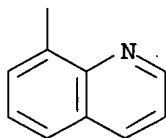
RN 678982-46-2 CAPLUS  
 CN Benzenemethanesulfonamide, N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)



RN 678982-47-3 CAPLUS  
 CN 8-Quinolinesulfonamide, N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



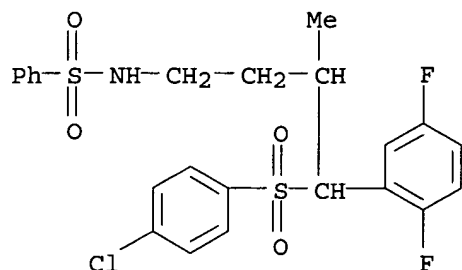


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514/312

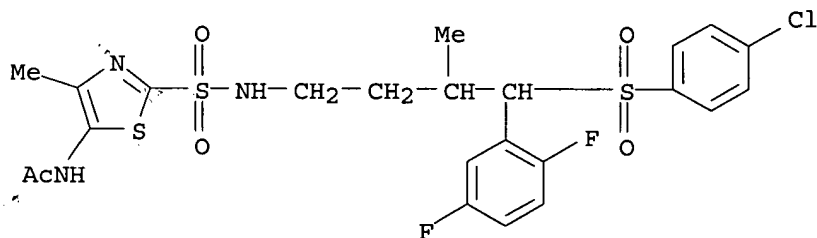
RN 678982-48-4 CAPLUS

CN Benzenesulfonamide, N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)



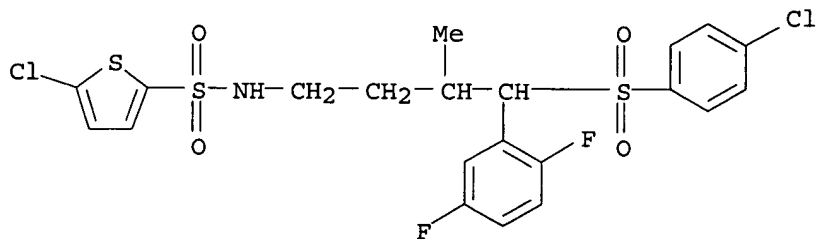
RN 678982-49-5 CAPLUS

CN Acetamide, N-[2-[[[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]amino]sulfonyl]-4-methyl-5-thiazolyl]- (9CI) (CA INDEX NAME)

548/185  
514/369

RN 678982-50-8 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)

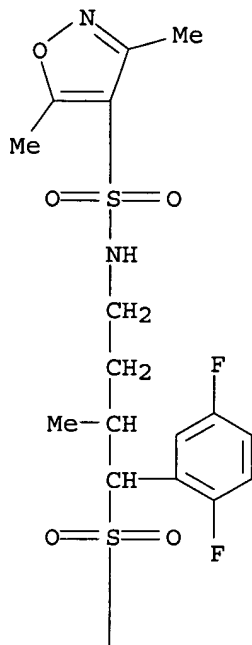


RN 678982-51-9 CAPLUS

CN 4-Isioxazolesulfonamide, N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

1,2-oxazoles

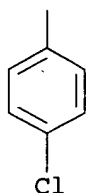
PAGE 1-A



548/243

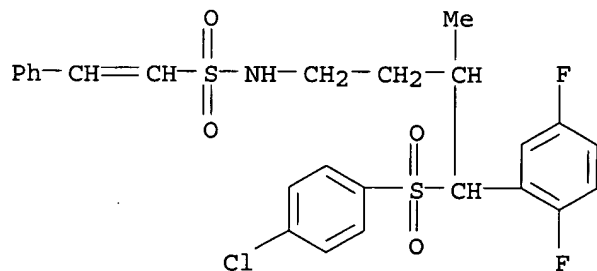
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PAGE 2-A



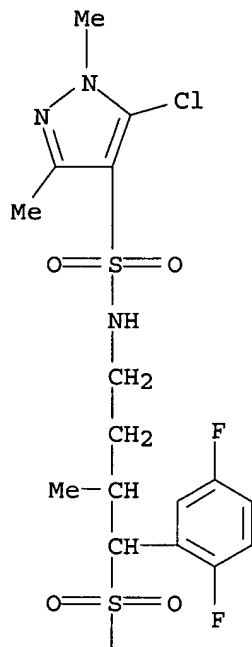
RN 678982-52-0 CAPLUS

CN Ethenesulfonamide, N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]-2-phenyl- (9CI) (CA INDEX NAME)



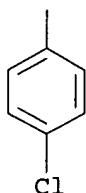
RN 678982-53-1 CAPLUS

CN 1H-Pyrazole-4-sulfonamide, 5-chloro-N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]-1,3-dimethyl- (9CI) (CA INDEX NAME)



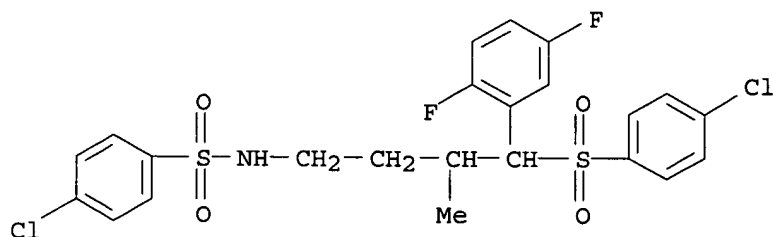
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514/404 407



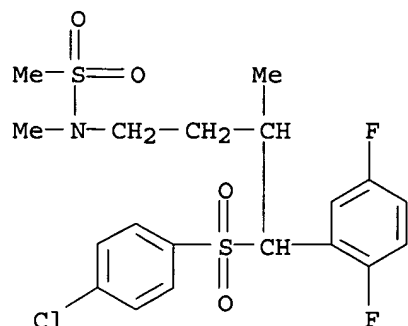
RN 678982-54-2 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)



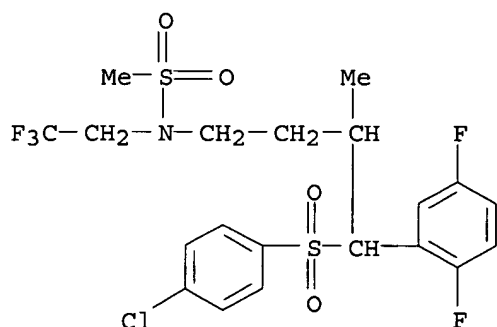
RN 678982-57-5 CAPLUS

CN Methanesulfonamide, N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]-N-methyl- (9CI) (CA INDEX NAME)



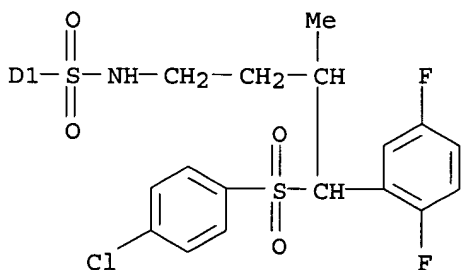
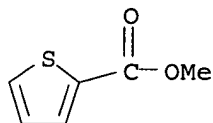
RN 678982-60-0 CAPLUS

CN Methanesulfonamide, N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



RN 679838-77-8 CAPLUS

CN 2-Thiophenecarboxylic acid, [[[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



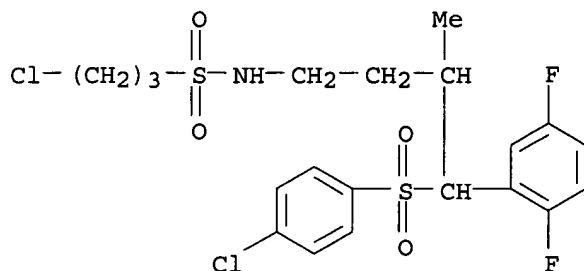
IT 678982-56-4P, N-[4-(4-Chlorobenzenesulfonyl)-4-(2,5-difluorophenyl)-3-methylbutyl]-3-chloropropane-1-sulfonamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylsulfonyl-containing sulfonamides as  $\gamma$ -secretase inhibitors for use against Alzheimer's disease)



RN 678982-56-4 CAPLUS  
 CN 1-Propanesulfonamide, 3-chloro-N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2003:532638 CAPLUS  
 DOCUMENT NUMBER: 139:101146  
 TITLE: Preparation of benzyl or heterocyclylmethyl phenyl or heterocyclyl sulfones as  $\beta$ -amyloid protein production/secretion inhibitors  
 INVENTOR(S): Yasukochi, Takanori; Ito, Masayuki; Kubota, Hideki; Miyauchi, Satoshi; Saito, Masaki  
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 540 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003055850	A1	20030710	WO 2002-JP13792	20021227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2471943	AA	20030710	CA 2002-2471943	20021227
AU 2002367147	A1	20030715	AU 2002-367147	20021227
EP 1466898	A1	20041013	EP 2002-790937	20021227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CN 1585746	A	20050223	CN 2002-827790	20021227
US 2005234109	A1	20051020	US 2004-500156	20040625
PRIORITY APPLN. INFO.:				
			JP 2001-395701	A 20011227
			WO 2002-JP13792	W 20021227

OTHER SOURCE(S): MARPAT 139:101146

AB Novel compds. having various substituents as represented by the following general formula R1(R2)(R3)C-X-R4, salts thereof, and solvates of the same [wherein X = S, SO, SO2; R1 = CR5R6R7, NR8R9, X1R10, X2R11; wherein R5, R6, R7 = halo, cyano, NO2, -Q51-Q52-Q53-Q54; Q51, Q53 = single bond, CO, S(O), SO2, COCO, COC(S), C(S)C(S); Q52 = single bond, O, ON(A51),

ON(COA51), N(A51), N(COA51), N(CO2A51), N[CON(A51)(A52)], N(OA51), N(NA51A52), N(A51)N(A52), N(COA51)N(A52), N(A51)-O, N(COA51)-O, S, N:N, C(A51):N, C(A51):N-O, C(A51):N-N(A52), N:C(A51), O-N:C(A51), N(A51)-N:C(A52), C(:NA51)-N(A52); Q54 = A53, OA53, N(A53)(A54), SA53, NA54-OA53, NA55-N(A53)(A54), O-N(A53)(A54); wherein A51, A52, A53 = H, (un)substituted hydrocarbyl or heterocyclyl; R2, R3, R4, R8, R9, R10, R11 = -Q51-Q52-Q53-Q54 defined in R5-R7; X1 = O, S; X2 = SO, SO2; or R1 and R2 or R3 and R4 are combined together to form (un)substituted cyclohydrocarbyl or heterocyclyl are prepared. These compds. have an effect of inhibiting the production/secretion of a  $\beta$ -amyloid protein and are useful for the prevention or treatment of diseases caused by unusual production/secretion of  $\beta$ -amyloid, in particular Alzheimer's disease or Down's syndrome. Thus, a solution of 100 mg 2,5-dichloro-4-[(4-chlorophenylthio)-(2,5-difluorophenyl)methyl]pyridine (preparation given) and 200  $\mu$ L morpholine in 1.0 mL 1,4-dioxane was stirred at 100° for 2 days to give 4-[5-chloro-4-[(4-chlorophenylthio)-(2,5-difluorophenyl)methyl]pyridin-2-yl]morpholine which (90 mg) was dissolved in 12 mL MeOH, treated with 60 mg ammonium molybdate tetrahydrate [(NH<sub>4</sub>)<sub>6</sub>Mo<sub>7</sub>O<sub>24</sub>·4H<sub>2</sub>O] and 6 mL 30% H<sub>2</sub>O<sub>2</sub>, and stirred for 8 h to give 83% 4-[5-chloro-4-[(4-chlorophenylsulfonyl)-(2,5-difluorophenyl)methyl]pyridin-2-yl]morpholine (I). I in vitro glioma cell (H4 cell) expressing human  $\beta$ -amyloid protein precursor protein gene (APP751 gene) with EC<sub>50</sub> of  $\leq$ 50 nM.

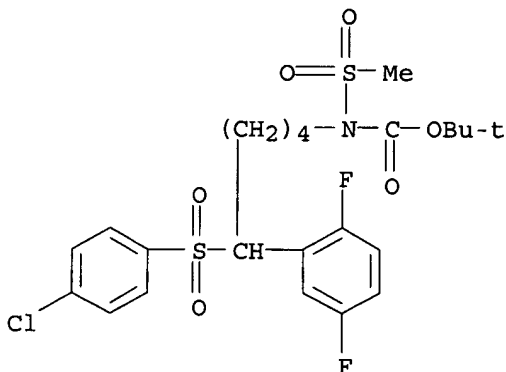
IT 558463-84-6P 558463-86-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of benzyl or heterocyclylmethyl Ph or heterocyclyl sulfones as  $\beta$ -amyloid protein production/secretion inhibitors for treatment or preparation of Alzheimer's disease or Down's syndrome)

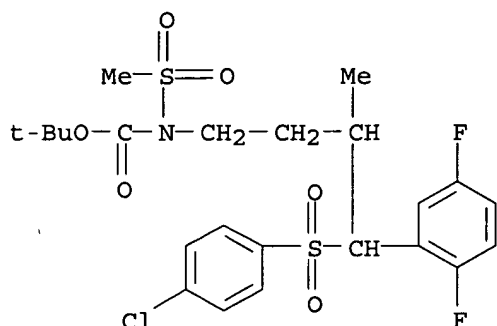
RN 558463-84-6 CAPLUS

CN Carbamic acid, [5-[(4-chlorophenyl)sulfonyl]-5-(2,5-difluorophenyl)pentyl](methylsulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 558463-86-8 CAPLUS

CN Carbamic acid, [4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl](methylsulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



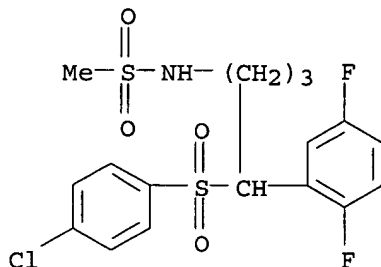
IT 558463-73-3P 558463-85-7P 558463-87-9P  
558463-88-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzyl or heterocyclylmethyl Ph or heterocyclyl sulfones as  $\beta$ -amyloid protein production/secretion inhibitors for treatment or preparation of Alzheimer's disease or Down's syndrome)

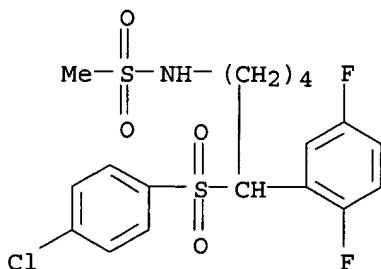
RN 558463-73-3 CAPLUS

CN Methanesulfonamide, N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)butyl]- (9CI) (CA INDEX NAME)



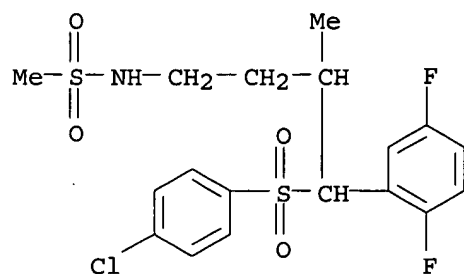
RN 558463-85-7 CAPLUS

CN Methanesulfonamide, N-[5-[(4-chlorophenyl)sulfonyl]-5-(2,5-difluorophenyl)pentyl]- (9CI) (CA INDEX NAME)



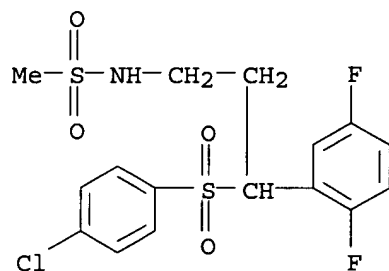
RN 558463-87-9 CAPLUS

CN Methanesulfonamide, N-[4-[(4-chlorophenyl)sulfonyl]-4-(2,5-difluorophenyl)-3-methylbutyl]- (9CI) (CA INDEX NAME)



RN 558463-88-0 CAPLUS

CN Methanesulfonamide, N-[3-[(4-chlorophenyl)sulfonyl]-3-(2,5-difluorophenyl)propyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

41

THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT